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NEWS 3 FEB 28 PATDPAFULL - New display fields provide for legal status data from INPADOC
NEWS 4 FEB 28 BABS - Current-awareness alerts (SDIs) available
NEWS 5 MAR 02 GBFULL: New full-text patent database on STN
NEWS 6 MAR 03 REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS 7 MAR 03 MEDLINE file segment of TOXCENTER reloaded
NEWS 8 MAR 22 KOREPAT now updated monthly; patent information enhanced
NEWS 9 MAR 22 Original IDE display format returns to REGISTRY/ZREGISTRY
NEWS 10 MAR 22 PATDPASPC - New patent database available
NEWS 11 MAR 22 REGISTRY/ZREGISTRY enhanced with experimental property tags
NEWS 12 APR 04 EPFULL enhanced with additional patent information and new fields
NEWS 13 APR 04 EMBASE - Database reloaded and enhanced
NEWS 14 APR 18 New CAS Information Use Policies available online
NEWS 15 APR 25 Patent searching, including current-awareness alerts (SDIs), based on application date in CA/CAplus and USPATFULL/USPAT2 may be affected by a change in filing date for U.S. applications.
NEWS 16 APR 28 Improved searching of U.S. Patent Classifications for U.S. patent records in CA/CAplus
NEWS 17 MAY 23 GBFULL enhanced with patent drawing images
NEWS 18 MAY 23 REGISTRY has been enhanced with source information from CHEMCATS
NEWS 19 JUN 06 STN Patent Forums to be held in June 2005
NEWS 20 JUN 06 The Analysis Edition of STN Express with Discover! (Version 8.0 for Windows) now available
NEWS 21 JUN 13 RUSSIAPAT: New full-text patent database on STN
NEWS 22 JUN 13 FRFULL enhanced with patent drawing images
NEWS 23 JUN 20 MEDICONF to be removed from STN
NEWS 24 JUN 27 MARPAT displays enhanced with expanded G-group definitions and text labels

NEWS EXPRESS JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005

NEWS HOURS STN Operating Hours Plus Help Desk Availability
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NEWS WWW CAS World Wide Web Site (general information)

10/649,532

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FILE 'HOME' ENTERED AT 14:36:45 ON 29 JUN 2005

=> file reg
COST IN U.S. DOLLARS
SINCE FILE ENTRY
SESSION
0.21
0.21
FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 14:36:53 ON 29 JUN 2005
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STRUCTURE FILE UPDATES: 28 JUN 2005 HIGHEST RN 853177-57-8
DICTIONARY FILE UPDATES: 28 JUN 2005 HIGHEST RN 853177-57-8

New CAS Information Use Policies. enter **HELP USAGETERMS** for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

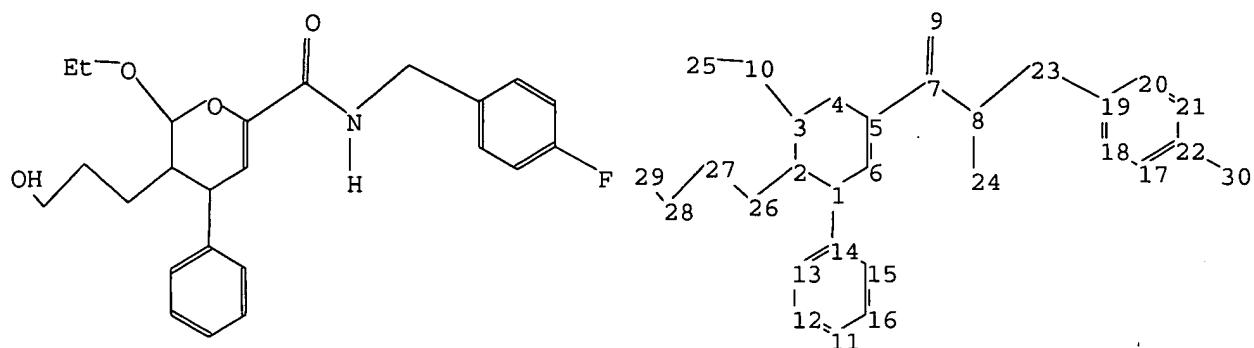
Please note that search-term pricing does apply when conducting SmartSELECT searches.

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*****
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005. A new display format, IDERL, is now      *
* available and contains the CA role and document type information. *
*****
```

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

```
=> Uploading C:\Program Files\Stnexp\Queries\10649532.str
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chain nodes :

7 8 9 10 23 24 25 26 27 28 29 30

ring nodes :

1 2 3 4 5 6 11 12 13 14 15 16 17 18 19 20 21 22

chain bonds :

1-14 2-26 3-10 5-7 7-8 7-9 8-23 8-24 10-25 19-23 22-30 26-27 27-28
28-29

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16 17-18
17-22 18-19 19-20 20-21 21-22

exact/norm bonds :

3-10 7-8 7-9 8-23 28-29

exact bonds :

1-2 1-6 1-14 2-3 2-26 3-4 4-5 5-6 5-7 8-24 10-25 19-23 22-30 26-27
27-28

normalized bonds :

11-12 11-16 12-13 13-14 14-15 15-16 17-18 17-22 18-19 19-20 20-21 21-22

isolated ring systems :

containing 1 : 11 : 17 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS

11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom

20:Atom 21:Atom 22:Atom 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS

28:CLASS 29:CLASS 30:CLASS

L1 STRUCTURE UPLOADED

=> S 11
 SAMPLE SEARCH INITIATED 14:37:12 FILE 'REGISTRY'
 SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS
 SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**

10/649,532

PROJECTED ITERATIONS: 0 TO 0
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s 11 ful
FULL SEARCH INITIATED 14:37:18 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 7 TO ITERATE

100.0% PROCESSED 7 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

L3 1 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
SESSION
FULL ESTIMATED COST 161.33 161.54

FILE 'CAPLUS' ENTERED AT 14:37:24 ON 29 JUN 2005
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FILE COVERS 1907 - 29 Jun 2005 VOL 143 ISS 1
FILE LAST UPDATED: 28 Jun 2005 (20050628/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13
L4 1 L3

=> d 14 ibib hitstr abs

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:252228 CAPLUS
DOCUMENT NUMBER: 140:287266
TITLE: Preparation of dihydropyran carboxamides as e.g.
kinesin inhibitors for treatment of proliferative
disorders.
INVENTOR(S): Schreiber, Stuart L.; Stavenger, Robert A.; Mitchison,
Timothy J.; Maliga, Zoltan
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 115 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004059138	A1	20040325	US 2003-649532	20030827
PRIORITY APPLN. INFO.:			US 2002-406140P	P 20020827

OTHER SOURCE(S): MARPAT 140:287266

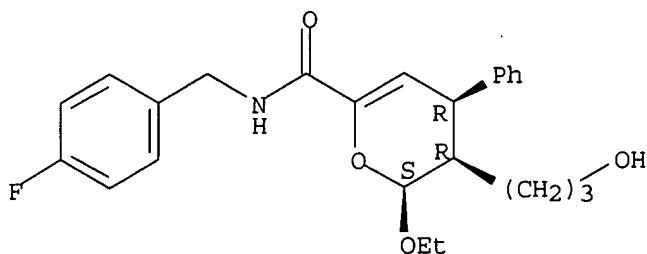
IT 675139-93-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (claimed compound; preparation of dihydropyrancarboxamides as e.g. kinesin inhibitors for treatment of proliferative disorders)

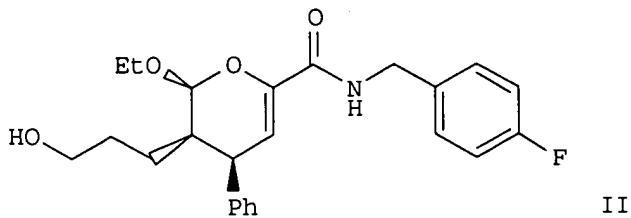
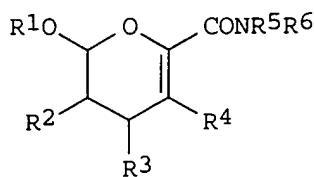
RN 675139-93-2 CAPLUS

CN 2H-Pyran-6-carboxamide, 2-ethoxy-N-[(4-fluorophenyl)methyl]-3,4-dihydro-3-(3-hydroxypropyl)-4-phenyl-, (2S,3R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI



AB Title compds. [I; R1-R6 = H, (substituted) aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, alkylheteroaryl; R5R6 = atoms to form cyclic aliphatic, heteroaliphatic, aliphaticlaryl, heteroaliphaticlaryl,

10/649,532

aliphatic heteroaryl, heteroaliphatic heteroaryl, aryl, heteroaryl], were prepared. A library of 4320 dihydropyran carboxamides was prepared; claimed title compound (II) was shown to be inhibitory against Eg5 kinesin. Solid support synthesis and decoding methodology is described.

=> file reg		SINCE FILE	TOTAL
COST IN U.S. DOLLARS		ENTRY	SESSION
FULL ESTIMATED COST		10.34	171.88
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)		SINCE FILE	TOTAL
CA SUBSCRIBER PRICE		ENTRY	SESSION
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FILE 'REGISTRY' ENTERED AT 14:44:25 ON 29 JUN 2005
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STRUCTURE FILE UPDATES: 28 JUN 2005 HIGHEST RN 853177-57-8
DICTIONARY FILE UPDATES: 28 JUN 2005 HIGHEST RN 853177-57-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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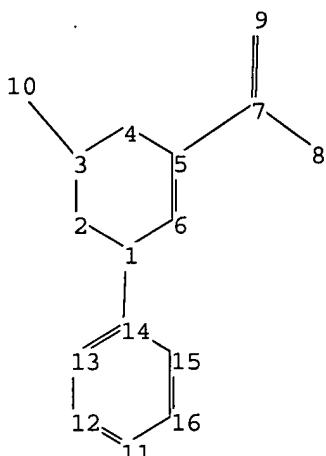
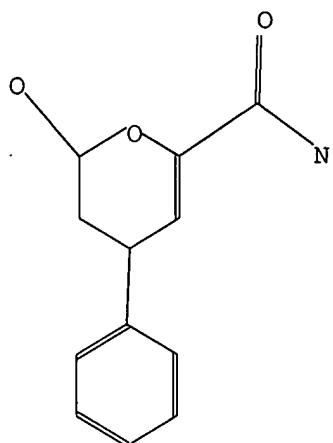
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* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

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information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>
Uploading C:\Program Files\Stnexp\Queries\106495321.str

10/649,532



chain nodes :

7 8 9 10

ring nodes :

1 2 3 4 5 6 11 12 13 14 15 16

chain bonds :

1-14 3-10 5-7 7-8 7-9

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16

exact/norm bonds :

3-10 7-8 7-9

exact bonds :

1-2 1-6 1-14 2-3 3-4 4-5 5-6 5-7

normalized bonds :

11-12 11-16 12-13 13-14 14-15 15-16

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom

L5 STRUCTURE UPLOADED

=> S 15
SAMPLE SEARCH INITIATED 14:44:47 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 6 TO ITERATE

100.0% PROCESSED 6 ITERATIONS
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 6 TO 266
PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

10/649,532

=> S 15 ful
FULL SEARCH INITIATED 14:44:53 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 163 TO ITERATE

100.0% PROCESSED 163 ITERATIONS 28 ANSWERS
SEARCH TIME: 00.00.01

L7 28 SEA SSS FUL L5

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 161.33 333.21
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL
ENTRY SESSION
CA SUBSCRIBER PRICE 0.00 -0.73

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FILE COVERS 1907 - 29 Jun 2005 VOL 143 ISS 1
FILE LAST UPDATED: 28 Jun 2005 (20050628/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> S 17
L8 4 L7

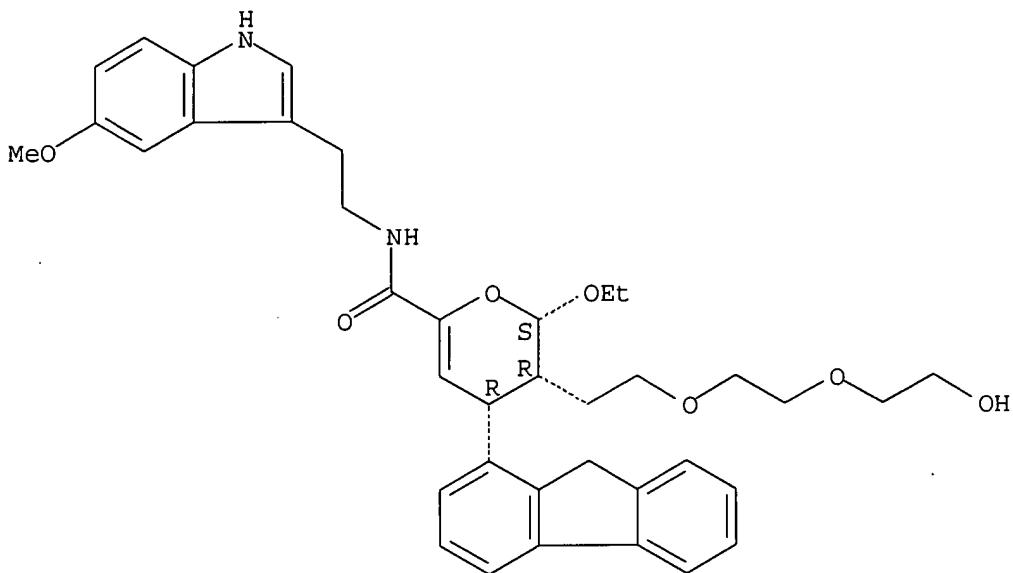
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L8 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:252228 CAPLUS
DOCUMENT NUMBER: 140:287266
TITLE: Preparation of dihydropyrancarboxamides as e.g.
kinesin inhibitors for treatment of proliferative
disorders.
INVENTOR(S): Schreiber, Stuart L.; Stavenger, Robert A.; Mitchison,
Timothy J.; Maliga, Zoltan
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 115 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

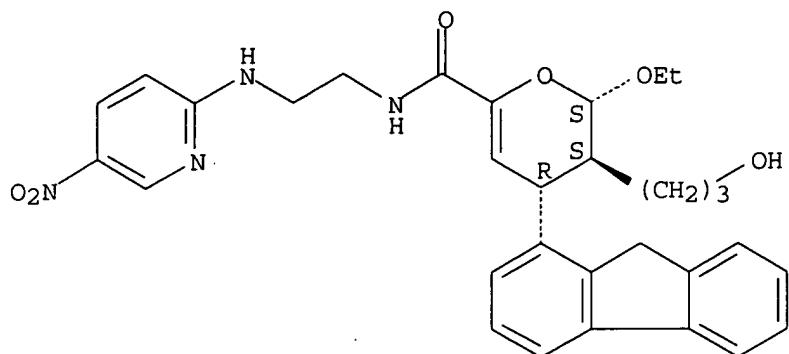
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004059138	A1	20040325	US 2003-649532	20030827
PRIORITY APPLN. INFO.:			US 2002-406140P	P 20020827
OTHER SOURCE(S):	MARPAT 140:287266			
IT 675139-86-3P 675139-87-4P 675139-93-2P	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (claimed compound; preparation of dihydropyrancarboxamides as e.g. kinesin inhibitors for treatment of proliferative disorders)			
RN 675139-86-3 CAPLUS				
CN 2H-Pyran-6-carboxamide, 2-ethoxy-4-(9H-fluoren-1-yl)-3,4-dihydro-3-[2-[2-(2-hydroxyethoxy)ethoxy]ethyl]-N-[2-(5-methoxy-1H-indol-3-yl)ethyl]-, (2S,3R)- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.



RN 675139-87-4 CAPLUS
 CN 2H-Pyran-6-carboxamide, 2-ethoxy-4-(9H-fluoren-1-yl)-3,4-dihydro-3-(3-hydroxypropyl)-N-[2-[(5-nitro-2-pyridinyl)amino]ethyl]-, (2S,3S,4R)- (9CI) (CA INDEX NAME)

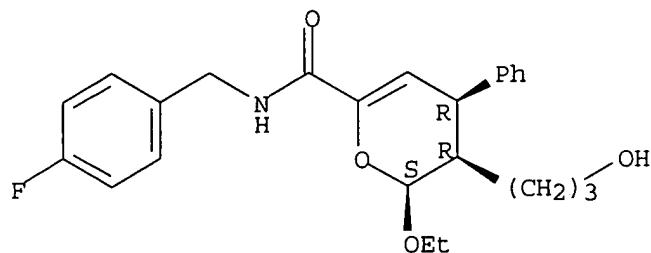
Absolute stereochemistry.



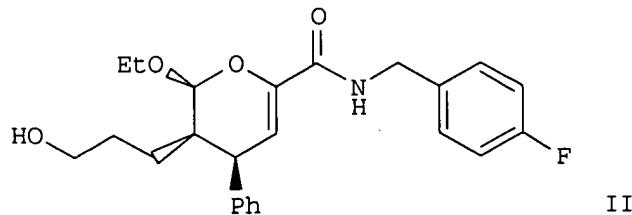
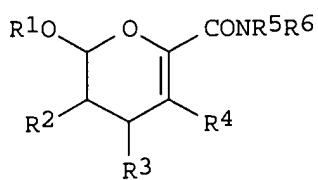
RN 675139-93-2 CAPLUS

CN 2H-Pyran-6-carboxamide, 2-ethoxy-N-[(4-fluorophenyl)methyl]-3,4-dihydro-3-(3-hydroxypropyl)-4-phenyl-, (2S,3R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI



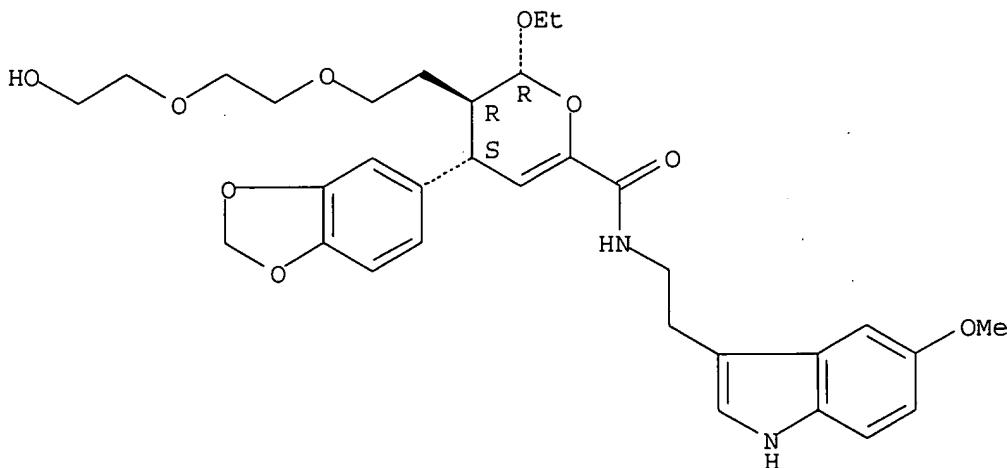
AB Title compds. [I; R1-R6 = H, (substituted) aliphatic, heteroaliphatic, aryl, heteroaryl, alkylaryl, alkylheteroaryl; R5R6 = atoms to form cyclic aliphatic, heteroaliphatic, aliphaticlaryl, heteroaliphaticlaryl, aliphaticlheteroaryl, heteroaliphaticlheteroaryl, aryl, heteroaryl], were

prepared A library of 4320 dihydropyran carboxamides was prepared; claimed title compound (II) was shown to be inhibitory against Eg5 kinesin. Solid support synthesis and decoding methodolgy is described.

L8 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2002:112577 CAPLUS
 DOCUMENT NUMBER: 136:150765
 TITLE: Decoding products of diversity pathways from stock solutions derived from single polymeric macrobeads
 AUTHOR(S): Blackwell, Helen E.; Perez, Lucy; Schreiber, Stuart L.
 CORPORATE SOURCE: Howard Hughes Medical Institute, Harvard Institute of Chemistry and Cell Biology, Harvard University, Cambridge, MA, 02138, USA
 SOURCE: Angewandte Chemie, International Edition (2001), 40(18), 3421-3425
 CODEN: ACIEF5; ISSN: 1433-7851
 PUBLISHER: Wiley-VCH Verlag GmbH
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 IT 394252-96-1P 394253-07-7P 394253-10-2P
 394253-11-3P 394253-12-4P 394253-25-9P
 394253-26-0P 394253-35-1P 394253-42-0P
 394253-49-7P 394253-50-0P 394253-58-8P
 394253-60-2P 394253-61-3P 394253-64-6P
 394253-68-0P 394253-76-0P 395072-36-3P
 395072-37-4P
 RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)
 (chloroarom. diazoketone tags and stock solns. in preparation and decoding and deconvolution of combinatorial libraries on macrobeads and use in preparation of nonracemic dihydropyran carboxamide combinatorial library)
 RN 394252-96-1 CAPLUS
 CN 2H-Pyran-6-carboxamide, 4-(1,3-benzodioxol-5-yl)-2-ethoxy-3,4-dihydro-3-[2-[2-(2-hydroxyethoxy)ethoxy]ethyl]-N-[2-(5-methoxy-1H-indol-3-yl)ethyl]-(2R,3R,4S)-(9CI) (CA INDEX NAME)

1024

Absolute stereochemistry.

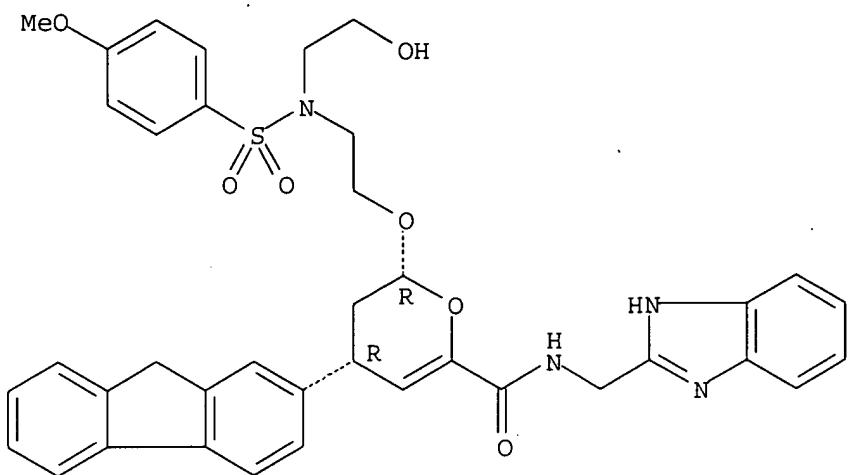


RN 394253-07-7 CAPLUS
 CN 2H-Pyran-6-carboxamide, N-(1H-benzimidazol-2-ylmethyl)-4-(9H-fluoren-2-yl)-3,4-dihydro-2-[2-[(2-hydroxyethyl)[(4-methoxyphenyl)sulfonyl]amino]ethoxy]-

10/649,532

, (2R,4R) - (9CI) (CA INDEX NAME)

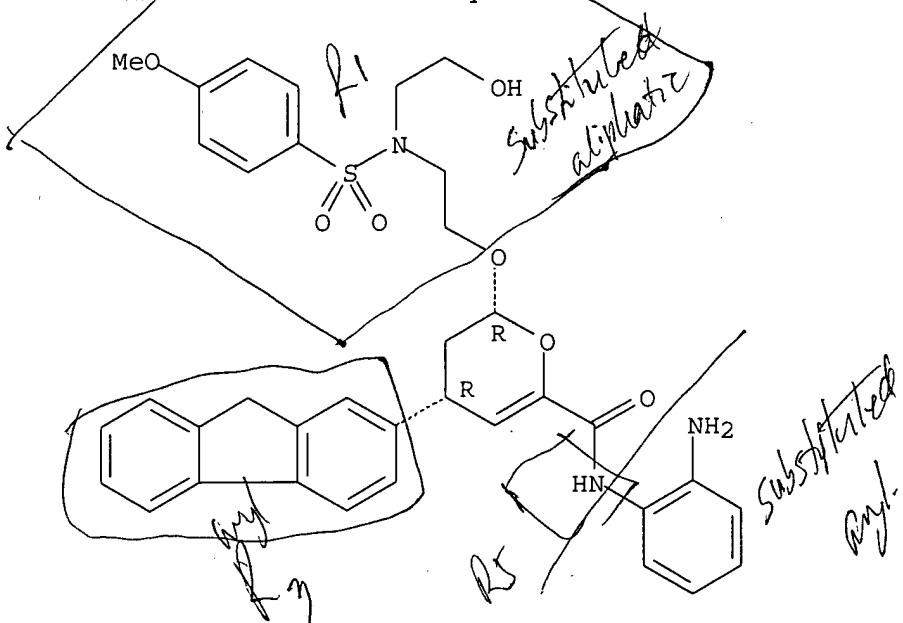
Absolute stereochemistry.



RN 394253-10-2 CAPLUS

CN 2H-Pyran-6-carboxamide, N-(2-aminophenyl)-4-(9H-fluoren-2-yl)-3,4-dihydro-2-[2-[(2-hydroxyethyl)[(4-methoxyphenyl)sulfonyl]amino]ethoxy]-, (2R,4R) - (9CI) (CA INDEX NAME)

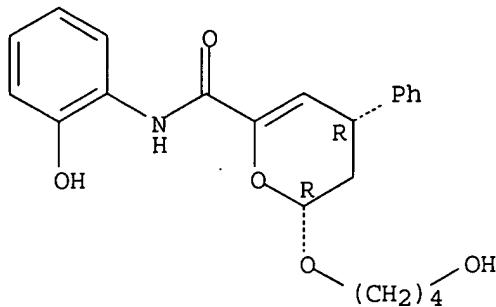
Absolute stereochemistry.



RN 394253-11-3 CAPLUS

CN 2H-Pyran-6-carboxamide, 3,4-dihydro-2-(4-hydroxybutoxy)-N-(2-hydroxyphenyl)-4-phenyl-, (2R,4R) - (9CI) (CA INDEX NAME)

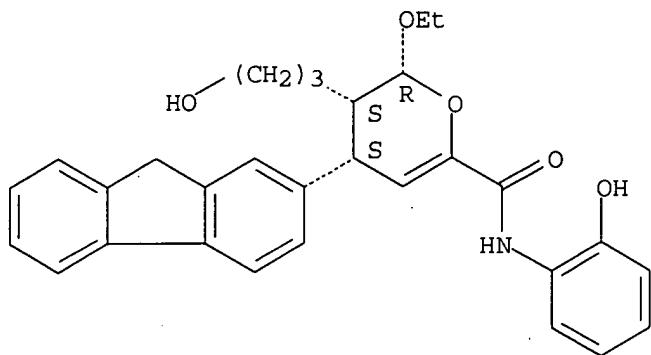
Absolute stereochemistry.



RN 394253-12-4 CAPLUS

CN 2H-Pyran-6-carboxamide, 2-ethoxy-4-(9H-fluoren-2-yl)-3,4-dihydro-N-(2-hydroxyphenyl)-3-(3-hydroxypropyl)-, (2R,3S,4S)- (9CI) (CA INDEX NAME)

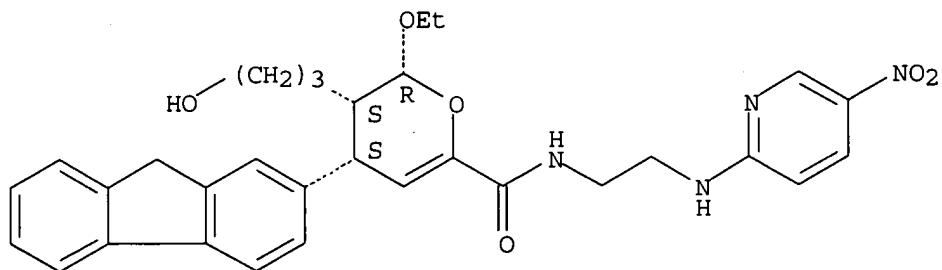
Absolute stereochemistry.



RN 394253-25-9 CAPLUS

CN 2H-Pyran-6-carboxamide, 2-ethoxy-4-(9H-fluoren-2-yl)-3,4-dihydro-3-(3-hydroxypropyl)-N-[2-[(5-nitro-2-pyridinyl)amino]ethyl]-, (2R,3S,4S)- (9CI) (CA INDEX NAME)

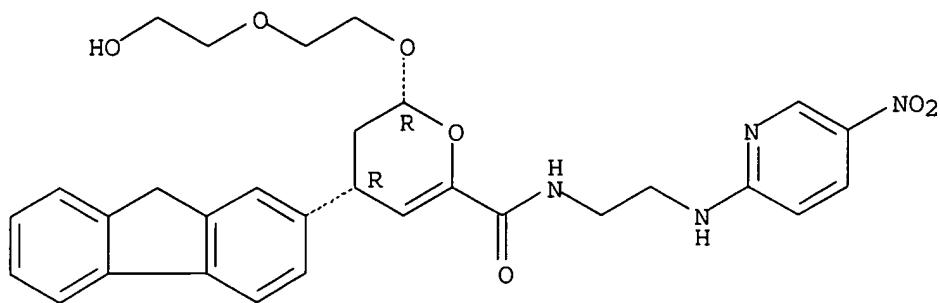
Absolute stereochemistry.



RN 394253-26-0 CAPLUS

CN 2H-Pyran-6-carboxamide, 4-(9H-fluoren-2-yl)-3,4-dihydro-2-[2-(2-hydroxyethoxy)ethoxy]-N-[2-[(5-nitro-2-pyridinyl)amino]ethyl]-, (2R,4R)- (9CI) (CA INDEX NAME)

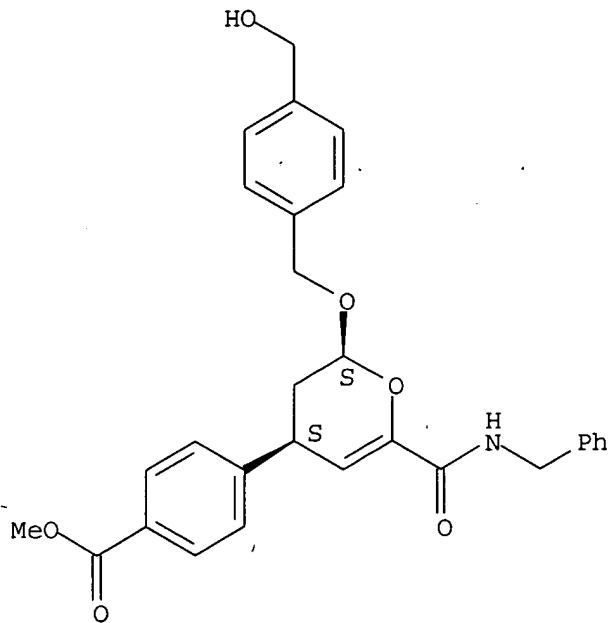
Absolute stereochemistry.



RN 394253-35-1 CAPLUS

CN Benzoic acid, 4-[(2S,4S)-3,4-dihydro-2-[(4-(hydroxymethyl)phenyl)methoxy]-6-[(phenylmethyl)amino]carbonyl]-2H-pyran-4-yl]-, methyl ester (9CI) (CA INDEX NAME)

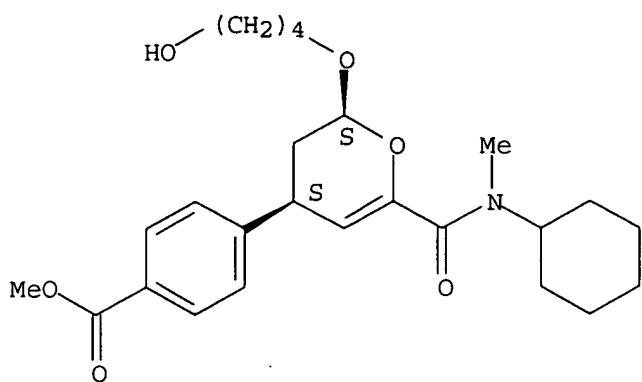
Absolute stereochemistry.



RN 394253-42-0 CAPLUS

CN Benzoic acid, 4-[(2S,4S)-6-[(cyclohexylmethylamino)carbonyl]-3,4-dihydro-2-(4-hydroxybutoxy)-2H-pyran-4-yl]-, methyl ester (9CI) (CA INDEX NAME)

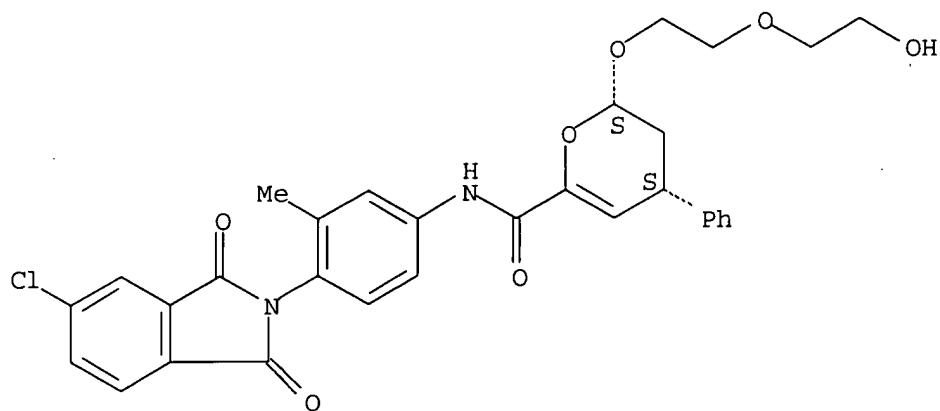
Absolute stereochemistry.



RN 394253-49-7 CAPLUS

CN 2H-Pyran-6-carboxamide, N-[4-(5-chloro-1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-3-methylphenyl]-3,4-dihydro-2-[2-(2-hydroxyethoxy)ethoxy]-4-phenyl-, (2S,4S)- (9CI) (CA INDEX NAME)

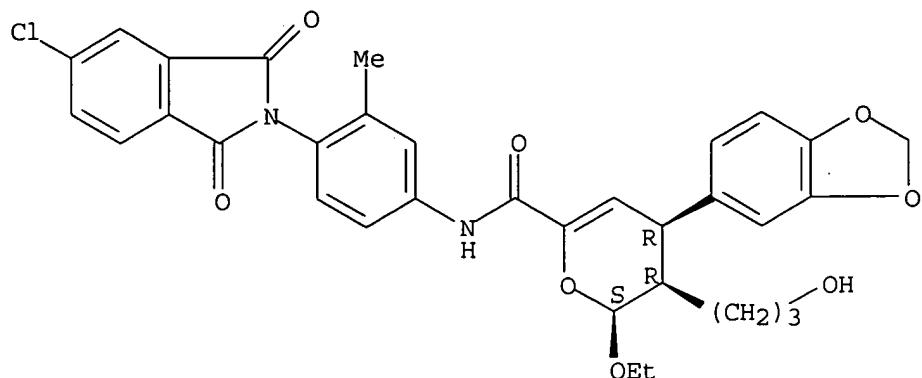
Absolute stereochemistry.



RN 394253-50-0 CAPLUS

CN 2H-Pyran-6-carboxamide, 4-(1,3-benzodioxol-5-yl)-N-[4-(5-chloro-1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-3-methylphenyl]-2-ethoxy-3,4-dihydro-3-(3-hydroxypropyl)-, (2S,3R,4R)- (9CI) (CA INDEX NAME)

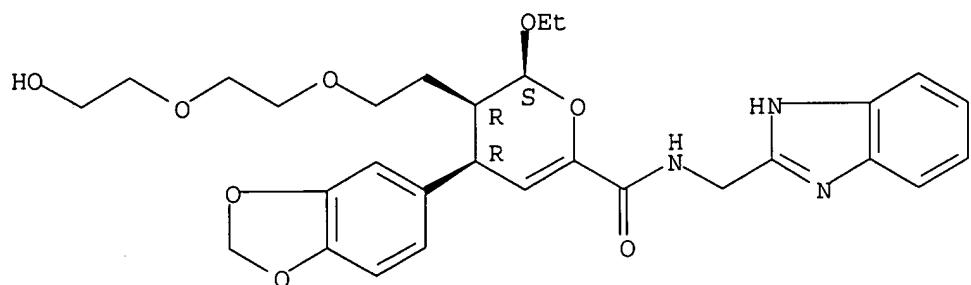
Absolute stereochemistry.



RN 394253-58-8 CAPLUS

CN 2H-Pyran-6-carboxamide, N-(1H-benzimidazol-2-ylmethyl)-4-(1,3-benzodioxol-5-yl)-2-ethoxy-3,4-dihydro-3-[2-[2-(2-hydroxyethoxy)ethoxy]ethyl]-, (2S,3R)- (9CI) (CA INDEX NAME)

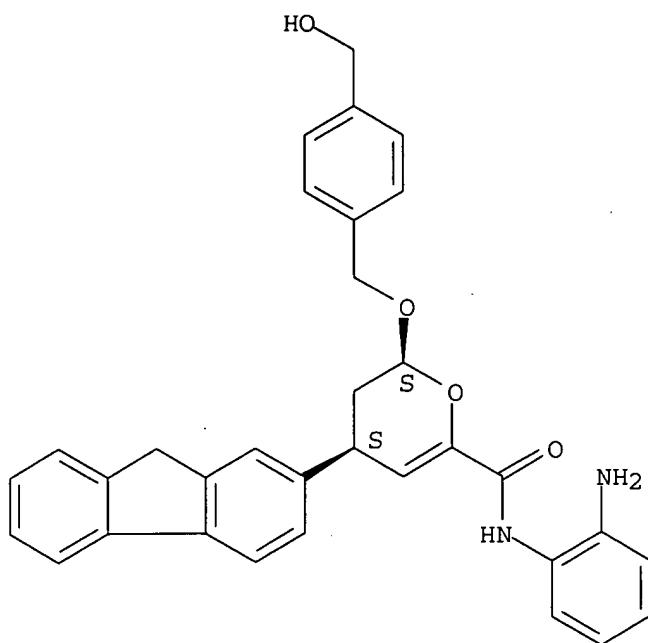
Absolute stereochemistry.



RN 394253-60-2 CAPLUS

CN 2H-Pyran-6-carboxamide, N-(2-aminophenyl)-4-(9H-fluoren-2-yl)-3,4-dihydro-2-[(4-hydroxymethyl)phenyl]methoxy]-, (2S,4S)- (9CI) (CA INDEX NAME)

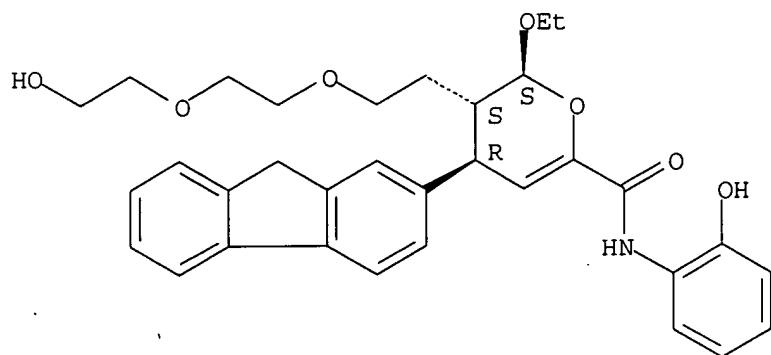
Absolute stereochemistry.



RN 394253-61-3 CAPLUS

CN 2H-Pyran-6-carboxamide, 2-ethoxy-4-(9H-fluoren-2-yl)-3,4-dihydro-3-[2-[2-(2-hydroxyethoxy)ethoxy]ethyl]-N-(2-hydroxyphenyl)-, (2S,3S,4R)- (9CI)
(CA INDEX NAME)

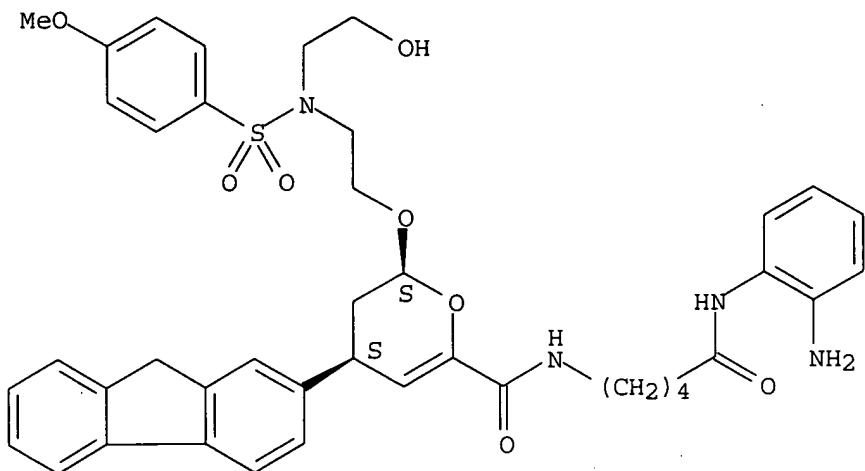
Absolute stereochemistry.



RN 394253-64-6 CAPLUS

CN 2H-Pyran-6-carboxamide, N-[5-[(2-aminophenyl)amino]-5-oxopentyl]-4-(9H-fluoren-2-yl)-3,4-dihydro-2-[2-[(2-hydroxyethyl)[(4-methoxyphenyl)sulfonyl]amino]ethoxy]-, (2S,4S)- (9CI) (CA INDEX NAME)

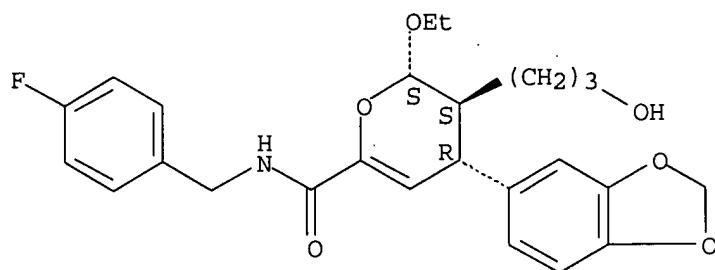
Absolute stereochemistry.



RN 394253-68-0 CAPLUS

CN 2H-Pyran-6-carboxamide, 4-(1,3-benzodioxol-5-yl)-2-ethoxy-N-[(4-fluorophenyl)methyl]-3,4-dihydro-3-(3-hydroxypropyl)-, (2S,3S,4R)- (9CI) (CA INDEX NAME)

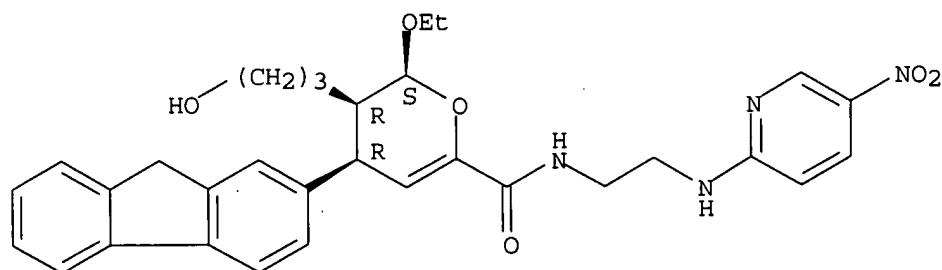
Absolute stereochemistry.



RN 394253-76-0 CAPLUS

CN 2H-Pyran-6-carboxamide, 2-ethoxy-4-(9H-fluoren-2-yl)-3,4-dihydro-3-(3-hydroxypropyl)-N-[2-[(5-nitro-2-pyridinyl)amino]ethyl]-, (2S,3R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



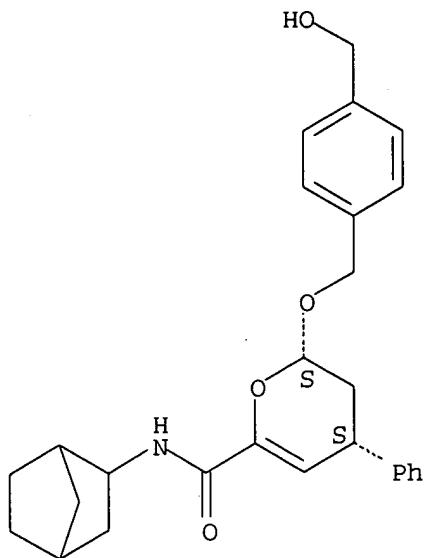
RN 395072-36-3 CAPLUS

CN 2H-Pyran-6-carboxamide, N-bicyclo[2.2.1]hept-2-yl-3,4-dihydro-2-[[4-

10/649,532

(hydroxymethyl)phenyl]methoxy]-4-phenyl-, (2S,4S)- (9CI) (CA INDEX NAME)

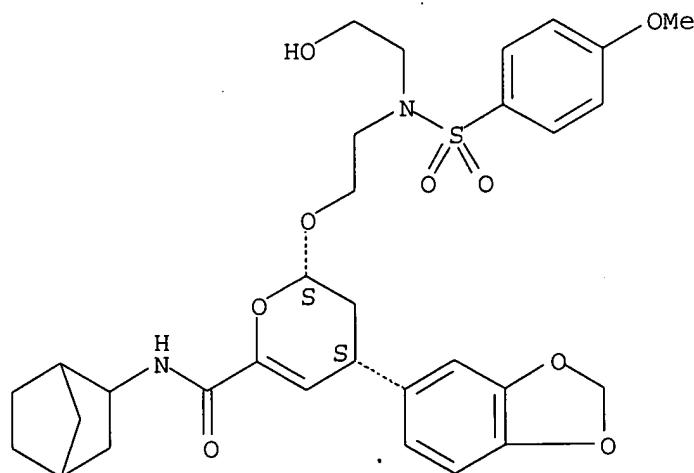
Absolute stereochemistry.



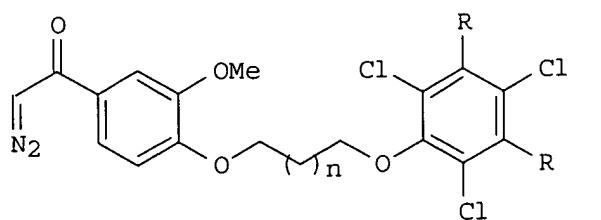
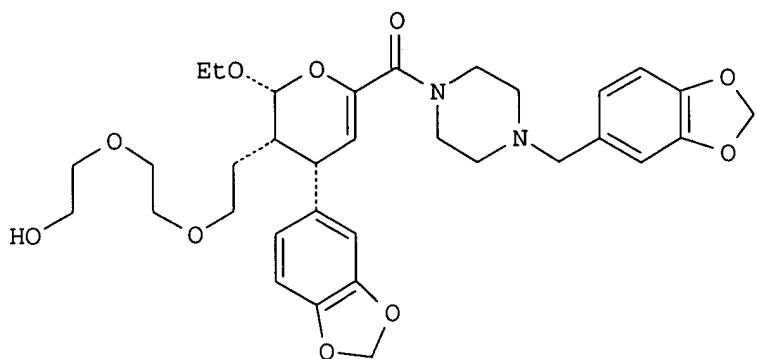
RN 395072-37-4 CAPLUS

CN 2H-Pyran-6-carboxamide, 4-((1,3-benzodioxol-5-yl)-N-bicyclo[2.2.1]hept-2-yl-3,4-dihydro-2-[(2-hydroxyethyl) [(4-methoxyphenyl)sulfonyl]amino]ethoxy]-, (2S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI



AB A combinatorial library of nonracemic dihydropyran carboxamides such as I [prepared on solid phase by the enantioselective Diels-Alder cycloaddn. of resin-bound vinyl ethers with allyl β,γ -unsatd.- α -ketoesters in the presence of nonracemic bisoxazoline ligands and copper (II) triflate] using a novel tagging technique for the labeling and identification of members of combinatorial libraries. Chloroarom. diazoketones II ($n = 1, 7, 14$; R = H, Cl) were used as tagging agents to identify the sequence of reactions to which a resin bead had been subjected; treatment of a resin bead with II in the presence of dirhodium tetrakis(triphenylacetate) yielded a polystyrene resin containing a fraction of chloroaralkyl cycloheptatriene moieties (formed by ring expansion of the polystyrene Ph groups). Oxidative cleavage of the tags with ceric ammonium nitrate liberated the chloroarom. portion of the tags; treatment of the tags with N,O-bis(trimethylsilyl)acetamide and gas chromatog. yielded masses corresponding to the sequence of reactions to which beads were subjected and thus their identities. The tags could be decoded either directly from a bead before compound cleavage, from a bead after compound cleavage, or from compound stock solns. (generated by compound cleavage

and dissoln. of a fraction of the liberated compds. in THF/H2O). Decoding compound stock solns. was the most effective method of identifying library members; compds. were identified by tag cleavage of solns. containing 1 or 5% of the compound cleaved from a single bead. Stock solns. were decoded most effectively because a fraction of the library member on a given bead was tagged with the chloroarom. diazoketone in addition to the polystyrene resin (due to the high-loading resin used) and because oxidative cleavage of the tags with CAN proceeded more readily in solution than on solid support. A sublibrary of 108 beads chosen from the larger combinatorial library was decoded by this procedure; of the 108 compds., 107 were successfully decoded. Four different synthetic pathways were found to be compatible with the diazoketone tagging methodol. (no data). The use of stock solns. for the decoding and deconvolution of combinatorial libraries is amenable

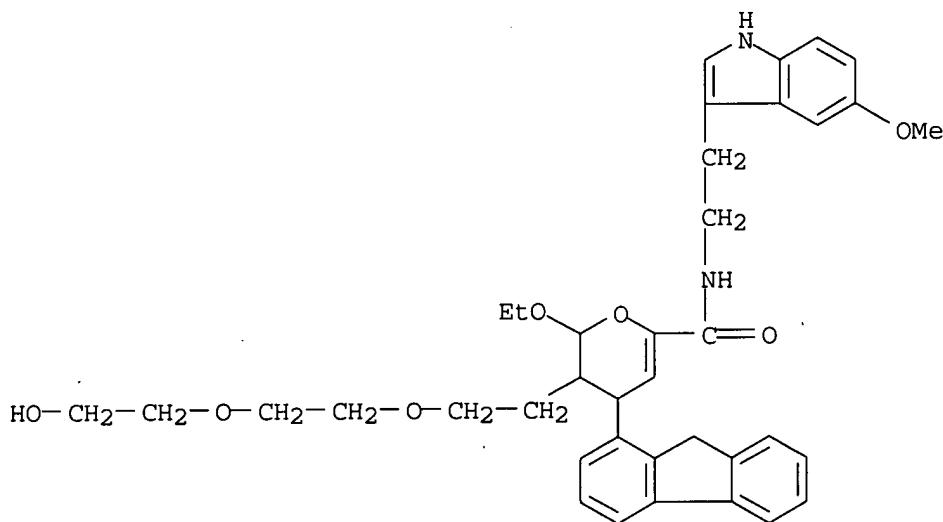
to robotic methods for combinatorial library synthesis and testing, minimizes the storage requirements for combinatorial libraries, and allows for simpler and faster compound identification.

L8 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2002:2523 CAPLUS
 DOCUMENT NUMBER: 137:93369
 TITLE: A one-bead, one-stock solution approach to chemical genetics: part 2
 AUTHOR(S): Clemons, Paul A.; Koehler, Angela N.; Wagner, Bridget K.; Sprigings, Timothy G.; Spring, David R.; King, Randall W.; Schreiber, Stuart L.; Foley, Michael A.
 CORPORATE SOURCE: Howard Hughes Medical Institute at Harvard University, Cambridge, MA, 02138, USA
 SOURCE: Chemistry & Biology (2001), 8(12), 1183-1195
 CODEN: CBOLE2; ISSN: 1074-5521
 PUBLISHER: Elsevier Science Ltd. 1101 09 102 6
 DOCUMENT TYPE: Journal
 LANGUAGE: English

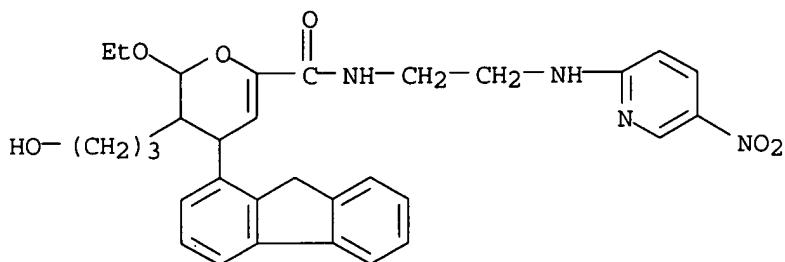
IT 438625-00-4P 438625-04-8P
 RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation) (bead arraying, processing, and assaying in one-bead, one-stock solution approach to chemical genetics)

RN 438625-00-4 CAPLUS

CN 2H-Pyran-6-carboxamide, 2-ethoxy-4-(9H-fluoren-1-yl)-3,4-dihydro-3-[2-[2-(2-hydroxyethoxy)ethoxy]ethyl]-N-[2-(5-methoxy-1H-indol-3-yl)ethyl]- (9CI) (CA INDEX NAME)



RN 438625-04-8 CAPLUS
 CN 2H-Pyran-6-carboxamide, 2-ethoxy-4-(9H-fluoren-1-yl)-3,4-dihydro-3-(3-hydroxypropyl)-N-[2-[(5-nitro-2-pyridinyl)amino]ethyl]- (9CI) (CA INDEX NAME)



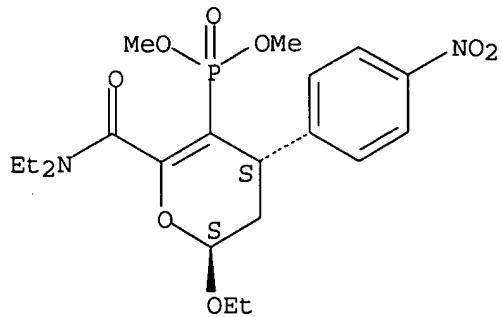
AB Background: Chemical genetics provides a systematic means to study biol. using small mols. to effect spatial and temporal control over protein function. As complementary approaches, phenotypic and proteomic screens of structurally diverse and complex small mols. may yield not only interesting individual probes of biol. function, but also global information about small mol. collections and the interactions of their members with biol. systems. Results: We report a general high-throughput method for converting high-capacity beads into arrayed stock solns. amenable to both phenotypic and proteomic assays. Polystyrene beads from diversity-oriented syntheses were arrayed individually into wells. Bound compds. were cleaved, eluted, and resuspended to generate 'mother plates' of stock solns. The second phase of development of our technol. platform includes optimized cleavage and elution conditions, a novel bead arraying method, and robotic distribution of stock solns. of small mols. into 'daughter plates' for direct use in chemical genetic assays. This library formatting strategy enables what we refer to as annotation screening, in which every member of a library is annotated with biol. assay data. This phase was validated by arraying and screening 708 members of an encoded 4320-member library of structurally diverse and complex dihydropyran carboxamides. Conclusions: Our 'one-bead, multiple-stock solution' library formatting strategy is a central element of a technol. platform aimed at advancing chemical genetics. Annotation screening provides a means for biol. to inform chemical, complementary to the way that chemical can inform biol. in conventional ('investigator-initiated') small mol. screens.

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1999:497822 CAPLUS
 DOCUMENT NUMBER: 131:322661
 TITLE: Hetero-Diels-Alder reactions of α -carbonylated styrylphosphonates with enol ethers. High-pressure influence on reactivity and diastereoselectivity
 AUTHOR(S): Al-Badri, Hashim; Maddaluno, Jacques; Masson, Serge; Collignon, Noel
 CORPORATE SOURCE: Laboratoire d'Heterochimie Organique, INSA de Rouen, UPRES-A 6014 CNRS, l'IRCOF, Mont-Saint-Aignan, 76131, Fr.
 SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1999), (16), 2255-2266
 CODEN: JCPRB4; ISSN: 0300-922X
 PUBLISHER: Royal Society of Chemistry
 DOCUMENT TYPE: Journal
 LANGUAGE: English

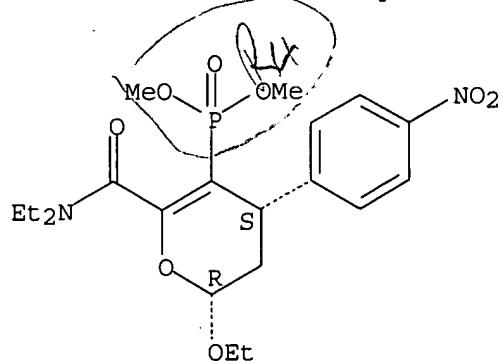
OTHER SOURCE(S): CASREACT 131:322661
 IT 248603-04-5P 248603-05-6P 248603-06-7P
 248603-07-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 248603-04-5 CAPLUS
 CN Phosphonic acid, [(2R,4R)-6-[(diethylamino)carbonyl]-2-ethoxy-3,4-dihydro-4-(4-nitrophenyl)-2H-pyran-5-yl]-, dimethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



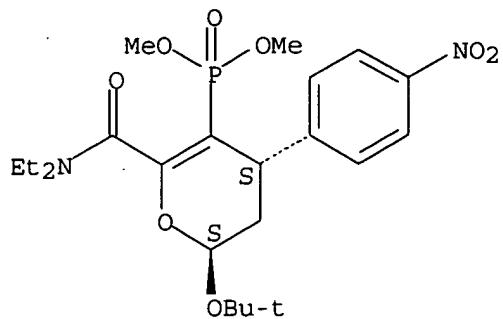
RN 248603-05-6 CAPLUS
 CN Phosphonic acid, [(2R,4S)-6-[(diethylamino)carbonyl]-2-ethoxy-3,4-dihydro-4-(4-nitrophenyl)-2H-pyran-5-yl]-, dimethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 248603-06-7 CAPLUS
 CN Phosphonic acid, [(2R,4R)-6-[(diethylamino)carbonyl]-2-(1,1-dimethylethoxy)-3,4-dihydro-4-(4-nitrophenyl)-2H-pyran-5-yl]-, dimethyl ester, rel- (9CI) (CA INDEX NAME)

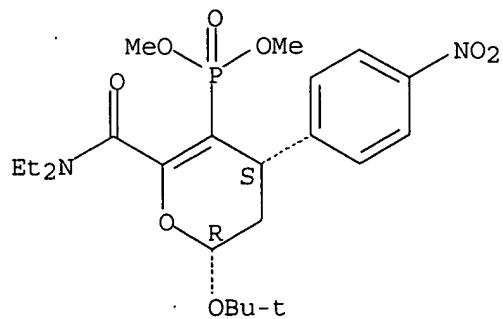
Relative stereochemistry.



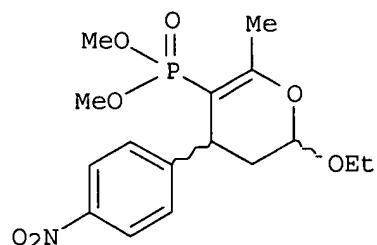
RN 248603-07-8 CAPLUS

CN Phosphonic acid, [(2R,4S)-6-[(diethylamino)carbonyl]-2-(1,1-dimethylethoxy)-3,4-dihydro-4-(4-nitrophenyl)-2H-pyran-5-yl]-, dimethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



GI



I

AB Various substituted α -carbonylated styrylphosphonates were easily prepared by Knoevenagel-type syntheses, used as oxadienes in hetero-Diels-Alder [4 + 2] cycloaddns. with enol ethers, to give new phosphorylated 3,4-dihydro-2H-pyrans 6, e.g. I. It was confirmed that the reactivity, as well as the trans-diastereoselectivity of the reaction, was significantly enhanced by the use of high-pressure conditions, particularly in the presence of ButoH as a co-solvent. Moreover, a

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one-pot synthesis of 6 via a tandem-sequence Knoevenagel and
hetero-Diels-Alder reactions was achieved.

REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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